

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P1229PCT	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/CH2004/000408	International filing date (day/month/year) 29.06.2004	Priority date (day/month/year) 21.07.2003
International Patent Classification (IPC) or national classification and IPC C07C231/12, C07D207/Q8, C07C233/09		
Applicant SIEGFRIED GENERICS INTERNATIONAL AG		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>9</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>																									
<p>4. This report contains indications relating to the following items:</p> <table border="0"> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. I</td> <td>Basis of the report</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. II</td> <td>Priority</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. III</td> <td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. IV</td> <td>Lack of unity of invention</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. V</td> <td>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VI</td> <td>Certain documents cited</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VII</td> <td>Certain defects in the international application</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. VIII</td> <td>Certain observations on the international application</td> </tr> </table>		<input checked="" type="checkbox"/>	Box No. I	Basis of the report	<input type="checkbox"/>	Box No. II	Priority	<input checked="" type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input type="checkbox"/>	Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/>	Box No. VI	Certain documents cited	<input type="checkbox"/>	Box No. VII	Certain defects in the international application	<input checked="" type="checkbox"/>	Box No. VIII	Certain observations on the international application
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Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I

Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☐ the international application as originally filed/furnished
- ☒ the description:
- pages 1-17 _____ as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☒ the claims:
- nos. 1-18 _____ as originally filed/furnished
- nos.* _____ as amended (together with any statement) under Article 19
- nos.* _____ received by this Authority on _____
- nos.* _____ received by this Authority on _____
- ☐ the drawings:
- sheets _____ as originally filed/furnished
- sheets* _____ received by this Authority on _____
- sheets* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application

☒ claims Nos. -

because:

☐ the said international application, or the said claims Nos. _____
relate to the following subject matter which does not require an international preliminary examination (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. _____

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ See Supplemental Box for further details.

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Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement		
1. Statement			
Novelty (N)	Claims	1-17	YES
	Claims	18	NO
Inventive step (IS)	Claims		YES
	Claims	1-18	NO
Industrial applicability (IA)	Claims	1-18	YES
	Claims		NO
2. Citations and explanations (Rule 70.7)	Reference is made to the following documents:		
	D1: EP-A-0 298 652 (MERCK & CO INC) 11 January 1989 (1989-01-11)		
	D2: TSUJI J ET AL: TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 25, No. 42, 1984, pages 4783-4786, XP002226639 ISSN: 0040-4039		
	D3: EP-A-0 428 366 (MERCK & CO INC) 22 May 1991 (1991-05-22)		
	D4: EP-A-0 473 226 (MERCK & CO INC) 4 March 1992 (1992-03-04)		
	D5: BROWN H.C. ET AL.: J. AM. CHEM. SOC, Vol. 86, 1964, pages 1089-1095, XP002262813		
	D6: BAKER J.T. ET AL.: J. ORG. CHEM., Vol. 44, No. 15, 1979, pages 2798-2800, XP002262814		
	D7: CAMELLA P ET AL: TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 55, No. 22, 28 May 1999 (1999-05 28), pages 7027-7044, XP004165609 ISSN: 0040-4020		
	D8: HORI K ET AL: TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 40, No. 28, 9 July 1999 (1999-07-09), pages 5207-		

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

5210, XP004170055 ISSN: 0040-4039

D9: KANEMASA S ET AL: TETRAHEDRON LETTERS,
ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL,
Vol. 37, No. 47, 18 November 1996
(1996-11-18), pages 8505-8506, XP004068701
ISSN: 0040-4039

- 1.) The subject matter of claim 1 is a method for producing unsaturated amides or lactams from the corresponding saturated compounds. Corresponding (silyl-)-enol ethers act as intermediate products.

Document D1 is considered the prior art closest to the subject matter of the claim and discloses (see claim 1, page 18, lines 45-53; claim 3; example 3) a method for producing unsaturated lactams from the corresponding silyl enol ethers, from which the method as per claim 1 of the application differs in that a "dehydrogenation" catalyst is present in addition to an oxidation agent (quinone).

The subject matter of claim 1 (and of dependent claims 2-17) is thus novel (PCT Article 33(2)).

In the light of the teaching of D1, the current invention can be considered to address the problem of developing a further method for producing unsaturated amides or lactams.

The problem is solved as per claim 1 in that a "dehydrogenation catalyst is used in addition to

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Box No. V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

an oxidation agent.

A person skilled in the art would expect the reaction known from D1 to also function in the presence of a dehydrogenation catalyst.

This is even more the case since the combination of an oxidation agent and a dehydrogenation catalyst is known from D3 for the corresponding reaction for producing esters.

The production of enol ether intermediate products is already known from D3 and D4.

The subject matter of claim 1 is therefore obvious and does not satisfy the criterion for inventive step.

Dependent claims 2-17 do not contain any features which, in combination with the features of any claim to which they refer, meet the PCT requirements for novelty and inventive step.

- 2.) The subject matter of claim 18 concerns compounds produced as per the method according to claim 1.

Compounds produced as per the method according to claim 1 cannot be distinguished from compounds produced using different methods. Molecules do not have a memory which would tell them according to which method they were produced.

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Box No. V

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Consequently, compounds produced as per different methods (which cannot be distinguished from the compounds as per claim 18) are also covered by the scope of protection of the claim.

D5-D9 are examples of documents which disclose such compounds (see the passages of text cited in the search report).

The subject matter of claim 18 thus lacks novelty (PCT Article 33(2)) (see also Box III).

Insofar as it is novel, the subject matter of claim 18 does not involve an inventive step (PCT Article 33(3)), since when used the compounds do not display any advantages over differently produced compounds and can therefore be regarded as obvious alternatives.

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Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

- 1.) It would appear from the description and the examples that the following feature is essential to the definition of the invention: the combination of a palladium compound (as dehydrogenation catalyst) with an oxidation agent which is selected from the group of optionally substituted benzoquinone, allyl methyl carbonate, allyl ethyl carbonate and allyl propyl carbonate.

Since independent claim 1 is not restricted to this feature, it does not meet the requirement of PCT Article 6 in conjunction with PCT Rule 6.3(b) that each independent claim must include all the technical features essential to the definition of the invention.

- 2.) The terms "dehydrogenation catalyst" and "oxidation agent" are vague and leave the reader uncertain as to the meaning of the technical feature or features in question. As a result, the subject matter of claim 1 is not clearly defined (PCT Article 6).

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of:

Box III**Non-establishment of opinion with regard to
novelty, inventive step and industrial
applicability**

In its initial stages, the search yielded a very large number of documents that are prejudicial to the novelty of claim 18. This number is so large that it becomes impossible to identify anything in the claims as a whole for which protection might justifiably be sought. Acrylamide, for example, falls under the scope of protection sought in claim 18. For these reasons it does not appear possible to carry out a meaningful search covering the full range of claim 18. The search was therefore restricted to:
the compounds as mentioned on pages 10 to 13 of the description.